


0D-023658/91

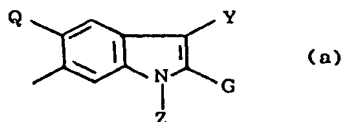
91-023658/04 C02  **FARB 21.07.89**
BAYER AG
 21.07.89-DE-924052 (23.01.91) A01n-43/38 C07d-209/48
 New herbicidal 6-heterocyclyl-indole derivs. esp. for selective
 weed control, and new process intermediates
 C91-010084 R(BE CH DE FR GB IT LI NL)

N-(6-indolyl)heterocycles of formula (I) are new:

Het—Ind (I)

u

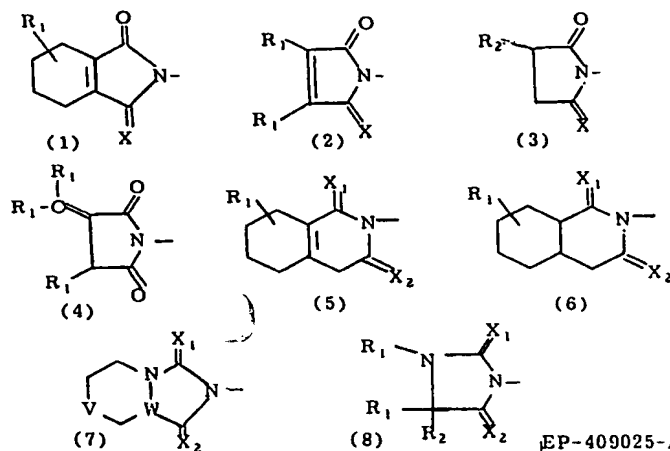
Ind = gp. of formula (a):



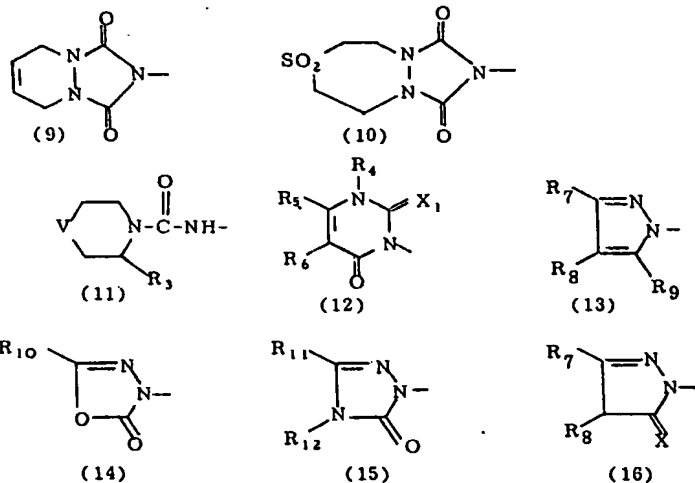
Het = gp. of formula (1)-(17):

C(6-D1, 12-P6)

C0020



EP-409025-A+



Q = H or halo;
 Y = H, alkyl or halo;
 G = H or alkyl;
 Z = H; alkyl, alkenyl, alkynyl, cycloalkyl or aralkyl (opt. substd.); haloalkyl; alkoxycarbonyl; (di)alkylamino-carbonyl; alkylcarbonyl, or alkylsulphonyl;
 R₁ = H or 1-8C alkyl;
 R₂ = H, 1-8C alkyl or halo;
 R₃ = CN, COOR₁ or CONR₁R₁;
 R₄-R₆ = H or 1-8C alkyl, or R₄/R₅ or R₅/R₆ are together 4-6C alkylene;
 R₇, R₈, R₉ = H or 1-8C alkyl (opt. substd. by up to 17 halo) or R₇/R₈ or R₈/R₉ are together 4-6C alkylene;
 R₁₀ = as R₇ or opt. substd. 3-7C cycloalkyl;
 R₁₁, R₁₂ are as R₇ (but not H for R₁₂), or together are 4-6C alkylene;

EP-409025-A+/1

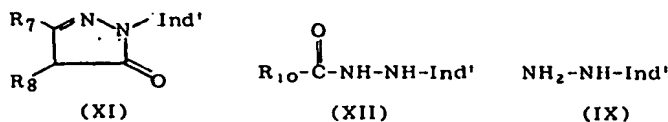
91-023658/04

V = O, S, CH₂, SO₂ or NMe;
 W = N or CH₂;
 X = O, S or CH₂;
 X₁ and X₂ = O or S.

Excluded are:

N-(1-methyl-indol-6-yl)phthalimide; and
 2-(1-R₈-5-fluoro-1H-indol-6-yl)-4,5,6,7-tetrahydro-1H-isoindol-1,3(2H)-dione (R₈ = Et, propyl, CHMe₂, CHMe.CH₂Me or H).

Intermediates (XI), (XII) or (IX) are new:



Ind' = Ind but Z limited to H.

USE/ADVANTAGE

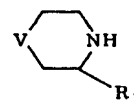
(I) are herbicides with high activity against 'problem' weeds and excellent tolerance by crops.

They are esp. used for selective control of mono- and di-cotyledonous weeds in crops, applied pre- or post-emergence, usually at a dose of 0.05-5 kg/ha.

C0021

PREPARATION

Typical of many claimed methods are as follows:
 (i) Where Z = H and Het = (1)-(6), the cpd. NH₂-Ind' is reacted with the appropriate anhydride. The products can be alkylated etc. to introduce other values of Z.
 (ii) Where Z = H and Het = (7-12) or (17), the cpds. X₁=C=N-Ind' (VI) or PhOCONH-Ind' (VII) are reacted with R₁₃COOR₁₄ (R₁₃ = residue of (7)-(10), (12) or (17); R₁₄ = alkyl) or with



(iii) (XI) $\xrightarrow{\text{halogenate}}$ (I; Z = H; Het = (13) with R₉ = halo).

(iv) (XII) $\xrightarrow{\text{COCl}_2}$ (I; Z = H; Het = (14))

EP-409025-A+/2

VERSCHLUSSLEIT

KORRIGIERT

BEMERKUNGEN

BLATT-NR.

BLATTZAHL

Poly

garbe

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(v) (IX) $\xrightarrow{\text{diketone}}$ (I; Z = H; Het = (13),
R₉ = H, alkyl or haloalkyl)

STARTING MATERIALS

(1) (IX) are made from NH₂-Ind' by reaction with NaNO₂-acid, then redn.

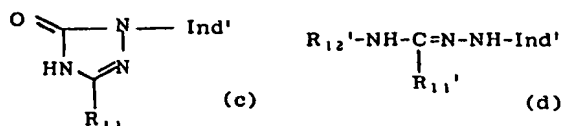
(2) (XI) are made from (IX) by reacting with R₇CO·CHR₈·COOR₁₆ (R₁₆ = alkyl).

(3) (XII) are made from (IX) by conventional acylation.

WIDER DISCLOSURE

(VI) and (VII) are also new and are prepd. from the corresp. amines by reaction with (thio)phosgene or phenyl chloroformate.

Also new are the intermediates (c) and (d):



R₁₁' and R₁₂' are pref. together 2-6C alkandiyl.

They are prepd. by conventional methods from (IX).

EXAMPLE

A stirred mixt. of 2.72g. 3,4,5,6-tetrahydrophthalic acid N-(5-fluoro-6-indolyl)imide; 4.15g. K₂CO₃ and 31 ml. acetone was treated with 5.2g. 80% soln. of propargyl bromide in acetone. The mixt. was refluxed until reaction was complete, then cooled, treated with water and extracted with CH₂Cl₂. The extract was dried, evaporated and the residue chromatographed on silica to give 1.6g. (52%) of 3,4,5,6-tetrahydrophthalic acid N-(2-fluoro-1-propargyl-6-indolyl)-imide, m.pt. 200-201°C. (76pp1251DAHdWgNo0/0).

(G) ISR: No Search Report.

(I) 8GG, 18A, 9GG, 19A, 10G
8G7, 18Z

EP-409025-A/3

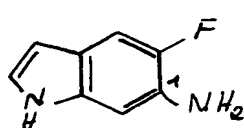
114: 228728b Preparation of heterocyclic-substituted indoles and their activity as herbicides. Klausener, Alexander; Wollweber, Detlef; Seitz, Thomas; Kysela, Ernst; Luerssen, Klaus; Santel, Hans Joachim; Schmidt, Robert R. (Bayer A.-G.) Eur. Pat. Appl. EP 409,025 (Cl. C07D209/48), 23 Jan 1991, DE Appl. 3,924,052, 21 Jul 1989; 76 pp. A series of alkyl- or acylindole derivs. with heterocyclic substituents are claimed. A method for their prepn. comprises the alkylation or acylation of heterocycle-substituted indoles. Cyclocondensation of 5-fluoro-1H-indol-6-amine with 3,4,5,6-tetrahydrophthalic anhydride gave 5-fluoro-6-(3,4,5,6-tetrahydrophthalimido)-1H-indole. Treatment of a mixt. of the latter (2.72 g), K₂CO₃ (4.15 g) and Me₂CO (31 mL) with BrCH₂C≡CH gave 52% 5-fluoro-1-(2-propynyl)-6-(3,4,5,6-tetrahydrophthalimido)-1H-indole (I). I showed herbicidal activity in both, pre- and post-emergence tests with agricultural plants.

Referate aus CHEMICAL PATENTS INDEX von DERWENT

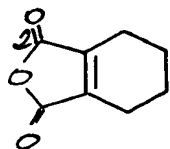
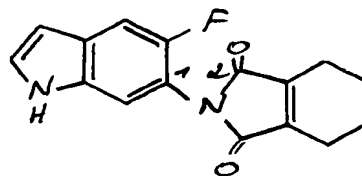
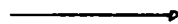
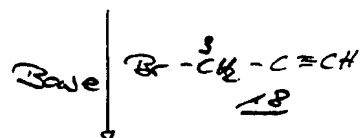
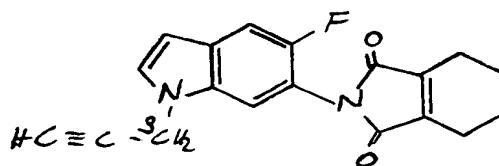
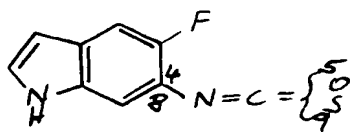
VERSCHLUSSELT	KORRIGIERT	BEMERKUNGEN	BLATT-NR.	BLATTZAHL
Bolz		CA.....:	2	9

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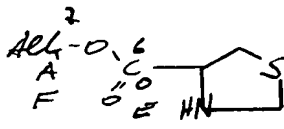
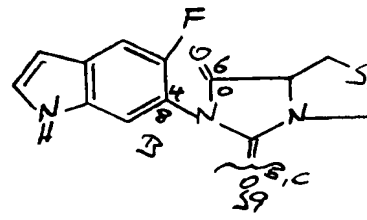
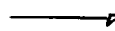
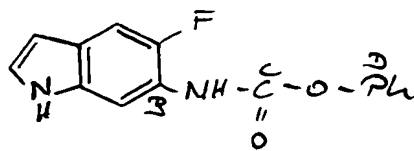
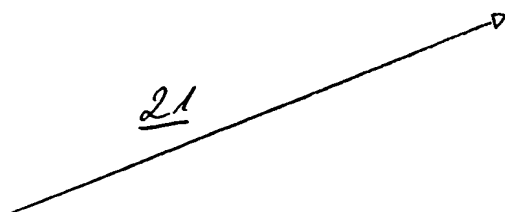
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Example (Prop. Cc)15

+

1617-011819-01Prop. Ccc)20-06 (O)24-06 (S)

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2122-0123-0721

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Bemerkungen

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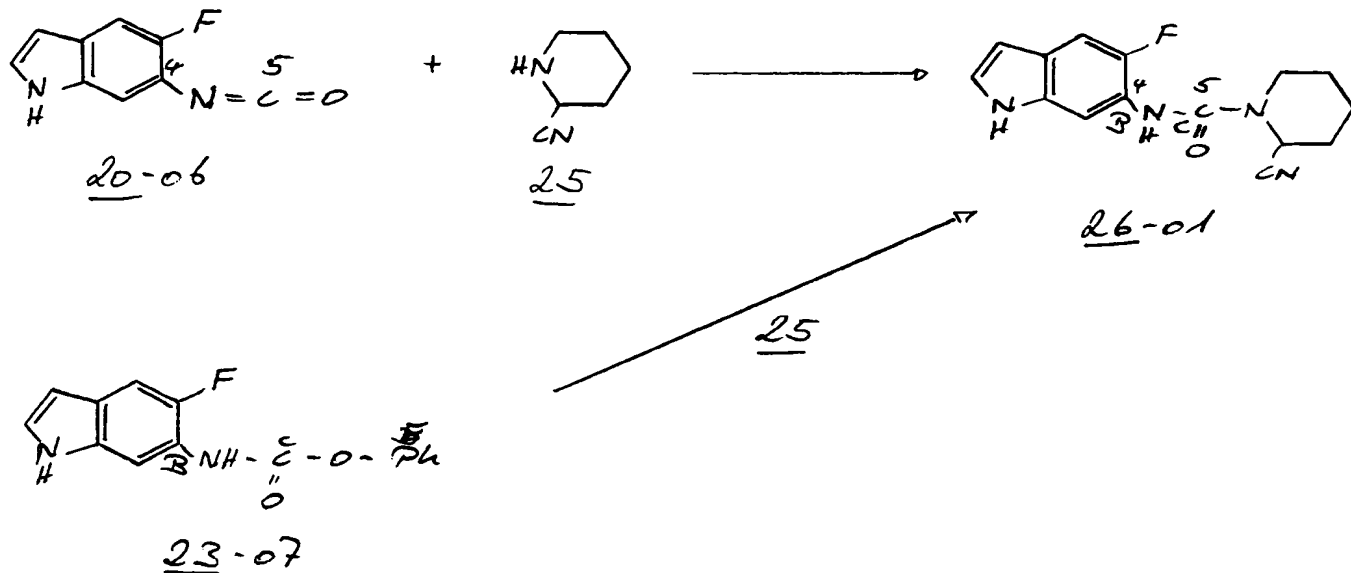
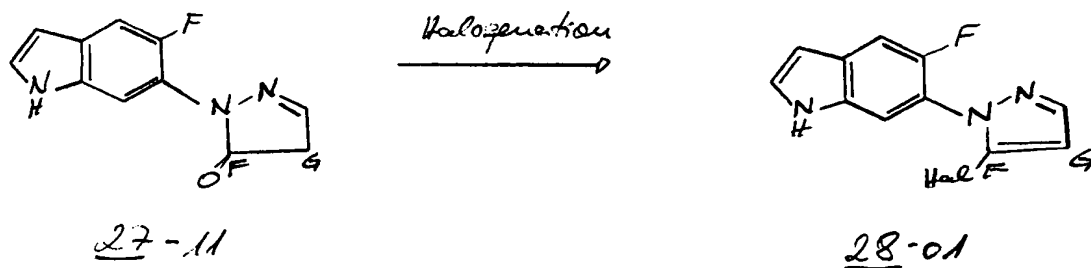
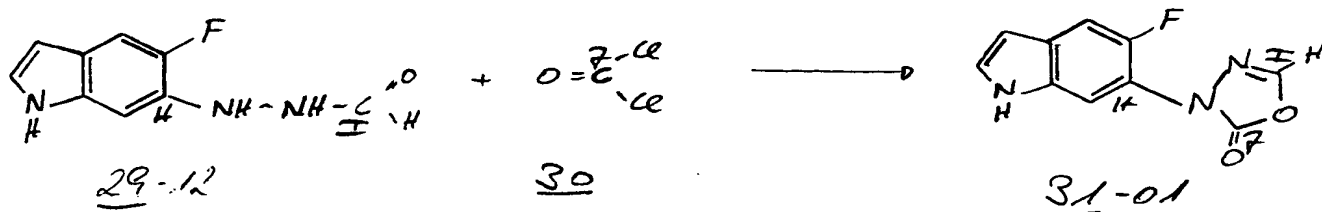
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Prep. (iii)Prep. (iv)

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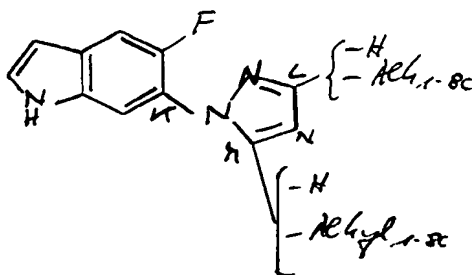
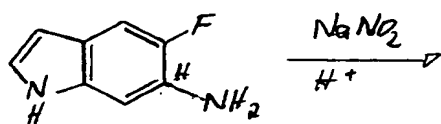
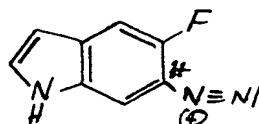
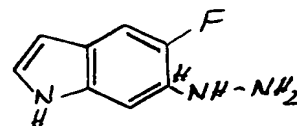
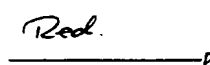
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Prep. (v)32-0933 (R₁, R₂)34 (H)35-01start. mat (1)153732-09

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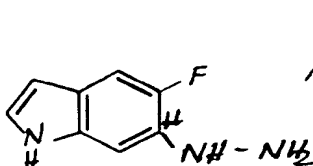
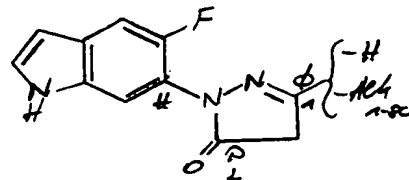
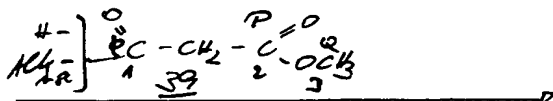
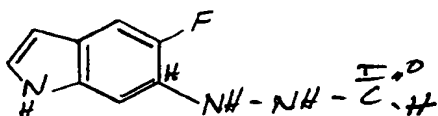
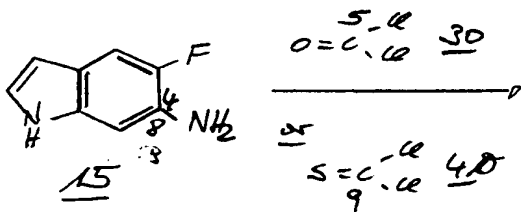
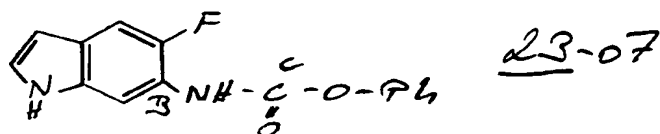
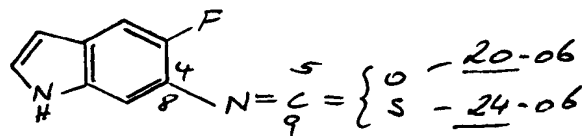
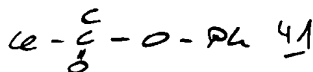
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Blattzahl

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start. mat. 12,1332-0927-11 (-H)40-11 (-HCH₂CH₃)29-12weiter disclosure1523-07

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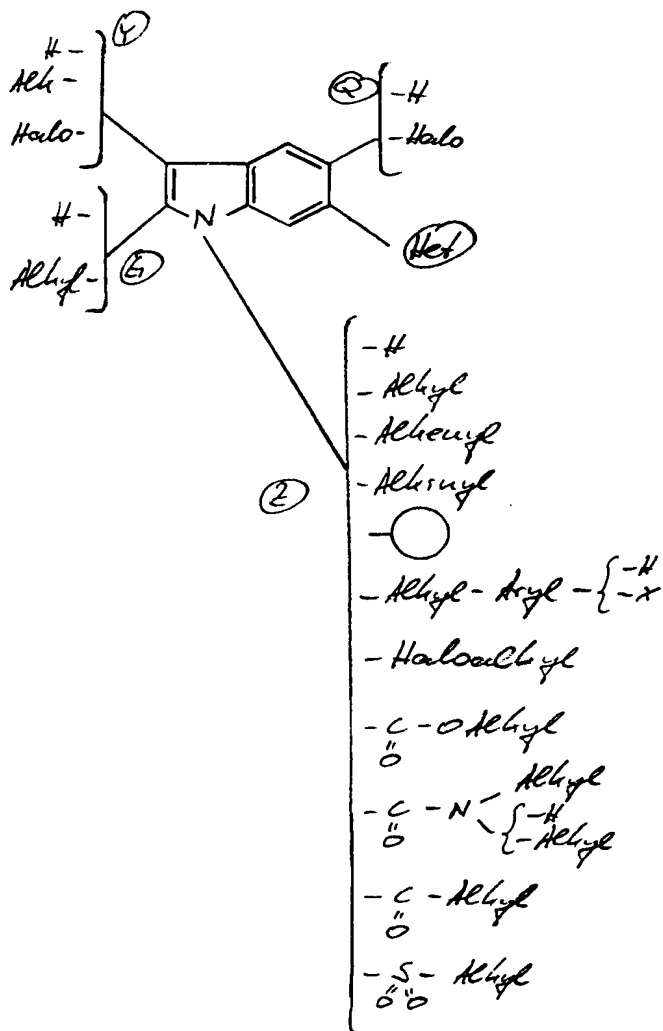
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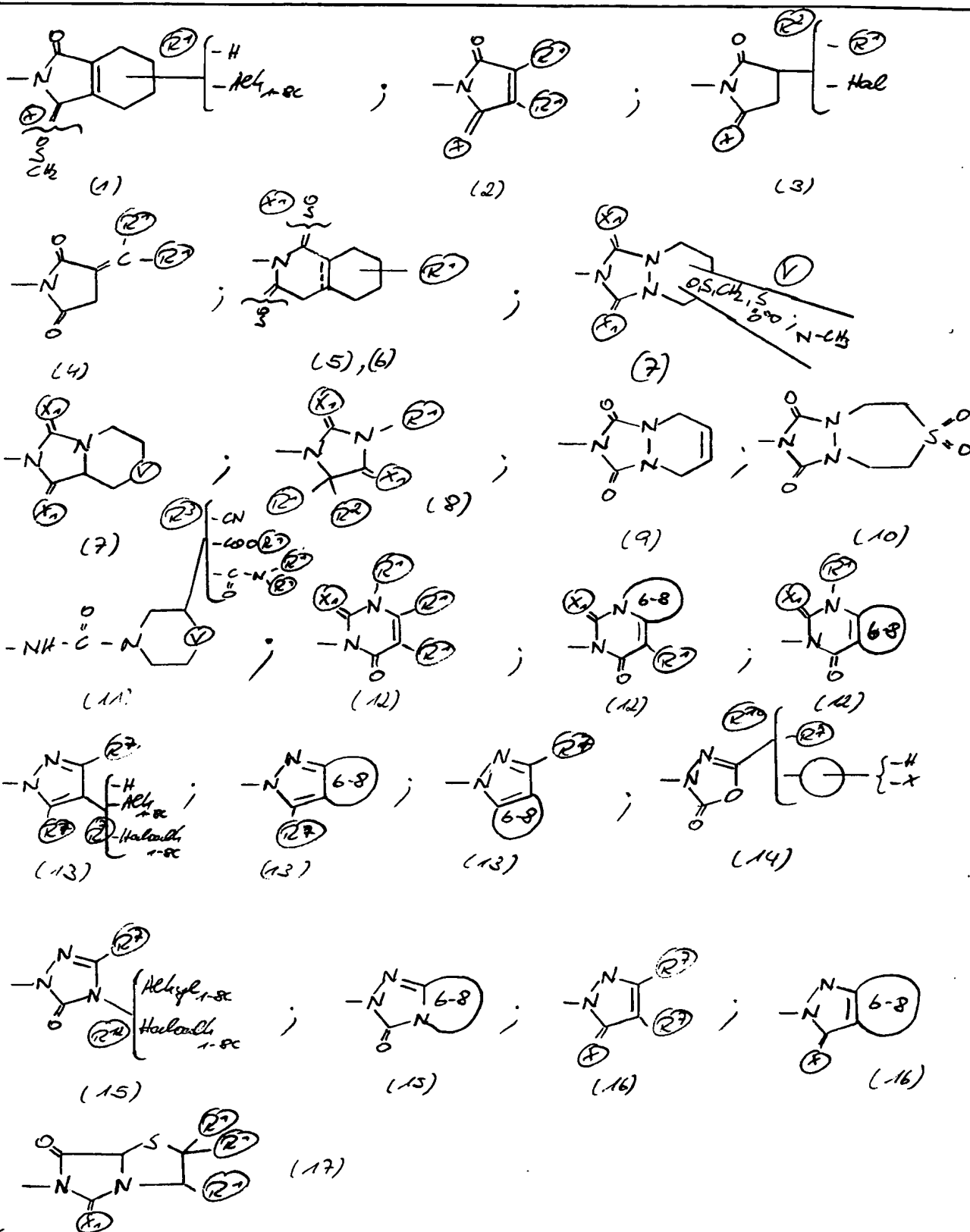
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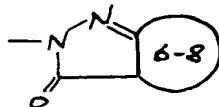
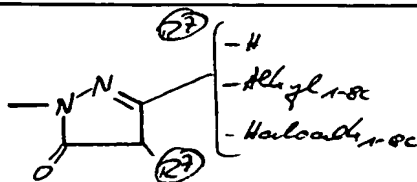
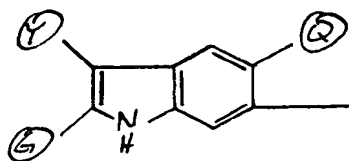
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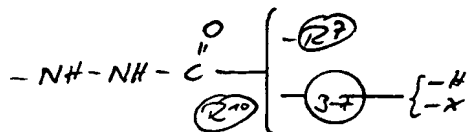
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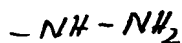
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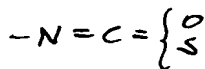
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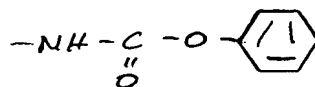
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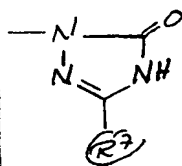
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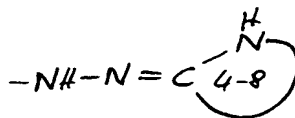
6-06 ✓



7-07 ✓



13-C ✓



14-L ✓

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Bemerkungen

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Blattzahl

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